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(71) Applicant (for all designated States except US): **MERCK & CO., INC.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **CVETOVICH, Raymond** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **CHUNG, John, Y.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **AMATO, Joseph, S.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **DIMICHELE, Lisa** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

(74) Common Representative: **MERCK & CO., INC.**; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

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(54) Title: PROCESS AND INTERMEDIATES FOR THE PREPARATION OF PYRROLIDINE CARBOXYLIC ACIDS

(57) Abstract: A novel process is provided for the preparation of pyrrolidine carboxylic acids, and the useful intermediates obtained therein. These compounds are intermediates for the synthesis of melanocortin-4 receptor (MC-4R), which are useful for the treatment of disorders such as obesity, diabetes, male sexual dysfunction, and female sexual dysfunction.

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# INTERNATIONAL SEARCH REPORT

International Application No  
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A. CLASSIFICATION OF SUBJECT MATTER  
IPC 7 C07D207/16

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)  
IPC 7 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the International search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 02/068388 A (WYVRATT MATTHEW J ; CHU LIN (US); GOULET MARK T (US); WARNER DANIEL (U) 6 September 2002 (2002-09-06) cited in the application	1-38
X	" pages 53-56, compound 1-7 *	31
A	WO 02/068387 A (GOULET MARK T ; WARNER DANIEL (US); YE ZHIXIONG (US); BAKSHI RAMAN K ( ) 6 September 2002 (2002-09-06) cited in the application	1-38
A	WO 98/57933 A (ABBOTT LAB) 23 December 1998 (1998-12-23) pages 25-29	1-38

☐ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

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Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2  
NL - 2280 HV Rijswijk  
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,  
Fax: (+31-70) 340-3016

Authorized officer

Lauro, P

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Information on patent family members

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Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 02068388	A	06-09-2002	CA 2439149 A1	06-09-2002
			CA 2439152 A1	06-09-2002
			CZ 20032325 A3	18-02-2004
			EE 200300415 A	15-12-2003
			EP 1372653 A2	02-01-2004
			EP 1383501 A2	28-01-2004
			HU 0303376 A2	28-01-2004
			NO 20033812 A	28-10-2003
			SK 10872003 A3	03-02-2004
			WO 02068387 A2	06-09-2002
			WO 02068388 A2	06-09-2002
			US 2004097546 A1	20-05-2004
WO 02068387	A	06-09-2002	CA 2439149 A1	06-09-2002
			CA 2439152 A1	06-09-2002
			CZ 20032325 A3	18-02-2004
			EE 200300415 A	15-12-2003
			EP 1372653 A2	02-01-2004
			EP 1383501 A2	28-01-2004
			HU 0303376 A2	28-01-2004
			NO 20033812 A	28-10-2003
			SK 10872003 A3	03-02-2004
			WO 02068387 A2	06-09-2002
			WO 02068388 A2	06-09-2002
			US 2004097546 A1	20-05-2004
WO 9857933	A	23-12-1998	AU 7826398 A	04-01-1999
			BG 103986 A	31-08-2000
			BR 9810031 A	12-09-2000
			CA 2292604 A1	23-12-1998
			CN 1265097 T	30-08-2000
			EP 0991620 A1	12-04-2000
			HU 0002681 A2	28-08-2001
			NO 996244 A	12-01-2000
			PL 348667 A1	03-06-2002
			SK 170699 A3	16-05-2000
			US 6124341 A	26-09-2000
			WO 9857933 A1	23-12-1998
			ZA 9805209 A	06-01-1999